

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
24 February 2005 (24.02.2005)

PCT

(10) International Publication Number
WO 2005/016255 A2

(51) International Patent Classification⁷:

A61K

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, IU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(21) International Application Number:

PCT/US2004/023093

(22) International Filing Date: 16 July 2004 (16.07.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/488,071 16 July 2003 (16.07.2003) US

(71) Applicant (for all designated States except US): **LIGAND PHARMACEUTICALS INCORPORATED [US/US]**; 10275 Science Center Drive, San Diego, CA 92121 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **MICHELLYS, Pierre [FR/US]**; 10824 Poblado Road, #221, San Diego, CA 92127 (US). **CHEH, Jyun-Hung [—/US]**; 7614 Palmilla Drive, #58, San Diego, CA 92122 (US). **MEYER, Hoyt [US/US]**; 4931 Quitman Street, Denver, CO 80212 (US). **KARANEWSKY, Donald [US/US]**; 1797 Continental Lane, Escondido, CA 92029 (US).

(74) Agent: **SEIDMAN, Stephanie, L.; Fish & Richardson P.C.**, 12390 El Camino Real, San Diego, CA 92130 (US).

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2005/016255 A2

(54) Title: SUBSTITUTED TETRAHYDROQUINOLINES, PHENYLACETIC ACIDS AND BENZOIC ACIDS AS HEPATO-CYTE NUCLEAR FACTOR 4 (HNF-4) MODULATOR COMPOUNDS

(57) Abstract: The present invention is directed to hepatocyte nuclear factor 4a (HNF-4a) receptor modulator compounds. This invention is also directed to pharmaceutical compositions containing such compounds as well as methods of using such compounds and pharmaceutical compositions for modulating HNF-4a receptors as well as processes mediated by HNF-4a receptors. Also provided are methods of making such compounds and pharmaceutical compositions, as well as intermediates used in their synthesis.